୦.S. Sena: No.. 10/031,644 Group Art Unit: 1624

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1 (Currently Amended). A compound of formula (I) or a pharmaceutically acceptable salt, ester and/or N-oxide derivative thereof:

wherein:

one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is N, and the remainder are CR^{1a} ;

R¹ and R^{1a} are independently hydrogen; hydroxy; (C_{1-6}) alkoxy optionally substituted by (C_{1-6}) alkoxy, amino, piperidyl, guanidino or amidino optionally N-substituted by one or two (C_{1-6}) alkyl, acyl or (C_{1-6}) alkylsulphonyl groups, CONH₂, hydroxy, thiol, (C_{1-6}) alkylthio, heterocyclyloxy, arylthio, aryloxy, acylthio, acyloxy or (C_{1-6}) alkylsulphonyloxy; (C_{1-6}) alkoxy-substituted (C_{1-6}) alkyl; halogen; (C_{1-6}) alkyl; (C_{1-6}) alkylthio; nitro; azido; acyl; acyloxy; (C_{1-6}) alkylsulphonyl; (C_{1-6}) alkylsulphoxide; arylsulphonyl; arylsulphoxide or an amino, piperidyl, guanidino or amidino group optionally N-substituted by one or two (C_{1-6}) alkyl, acyl or (C_{1-6}) alkylsulphonyl groups; and

additionally when Z⁵ is CR^{1a}, R^{1a} may be (C₁₋₄)alkyl-CO₂H or (C₁₋₄)alkyl-CONH₂ in which the C₁₋₄ alkyl is substituted by R¹²; (C₁₋₄)alkyl substituted by cyano, amino or guanidino; aminocarbonyl optionally substituted by hydroxy, (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkylsulphonyl, trifluoromethylsulphonyl, (C₁₋₆)alkenylsulphonyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl, (C₂₋₆)alkenylcarbonyl, or CH(R¹³)CO₂H or CH(R¹³)CO₂NH₂ CH(R¹³)CONH₂ optionally further substituted N-substituted by (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl or (C₂₋₆)alkenyl; hydroxy(C₁₋₆)alkyl; carboxy; cyano or (C₁₋₆)alkoxycarbonyl;

wherein R¹³ is a natural α -amino acid side chain or its enantiomer; provided that when Z¹, Z², Z³, Z⁴ and Z⁵ are CR^{1a}, then R¹ is not hydrogen;

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 R^2 is hydrogen, or (C_{1-4}) alkyl or (C_{1-4}) alkenyl optionally substituted with 1 to 3 groups selected from:

amino optionally substituted by one or two (C_{1-4}) alkyl groups; carboxy; (C_{1-4}) alkoxycarbonyl; (C_{1-4}) alkylcarbonyl; (C_{2-4}) alkenyloxycarbonyl; (C_{2-4}) alkenylcarbonyl; aminocarbonyl, wherein the amino group is optionally substituted by hydroxy, (C_{1-4}) alkyl, hydroxy (C_{1-4}) alkyl, aminocarbonyl (C_{1-4}) alkyl, (C_{2-4}) alkenyl, (C_{1-4}) alkylsulphonyl, trifluoromethylsulphonyl, (C_{1-4}) alkenylsulphonyl, (C_{1-4}) alkoxycarbonyl, (C_{1-4}) alkylcarbonyl, (C_{2-4}) alkenyloxycarbonyl or (C_{2-4}) alkenylcarbonyl; cyano; tetrazolyl; 2-oxo-oxazolidinyl optionally substituted by (C_{1-4}) alkylcarbonyl; 1,2,4-triazol-5-yl optionally substituted by (C_{1-4}) alkylcarbonyl; 1,2,4-triazol-5-yl optionally substituted by (C_{1-4}) alkylcarbonyl; (C_{1-4}) alkylthio; trifluoromethyl; azido; hydroxy optionally substituted by (C_{1-4}) alkyl, (C_{2-4}) alkenyl, (C_{1-4}) alkoxycarbonyl, (C_{1-4}) alkylcarbonyl, (C_{2-4}) alkenyloxycarbonyl, (C_{2-4}) alkenylcarbonyl; oxo;

(C₁₋₄)alkylsulphonyl; (C₂₋₄)alkenylsulphonyl; or (C₁₋₄)aminosulphonyl, wherein the amino

R³ is hydrogen; or

 R^3 is in the 2-, 3- or 4-position and is:

group is optionally substituted by (C₁₋₄)alkyl or (C₂₋₄)alkenyl;

carboxy; (C_{1-6}) alkoxycarbonyl; aminocarbonyl, wherein the amino group is optionally substituted by hydroxy, (C_{1-6}) alkyl, hydroxy (C_{1-6}) alkyl, aminocarbonyl (C_{1-6}) alkyl, (C_{2-6}) alkenyl, (C_{1-6}) alkylsulphonyl, trifluoromethylsulphonyl, (C_{1-6}) alkenylsulphonyl, (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenyloxycarbonyl or (C_{2-6}) alkenylcarbonyl and optionally further substituted by (C_{1-6}) alkyl, hydroxy (C_{1-6}) alkyl, aminocarbonyl (C_{1-6}) alkyl or (C_{2-6}) alkenyl; cyano; tetrazolyl; 2-oxo-oxazolidinyl optionally substituted by (C_{1-6}) alkyl, aminocarbonyl; 3-hydroxy-3-cyclobutene-1,2-dione-4-yl; 2,4-thiazolidinedione-5-yl; tetrazol-5-ylaminocarbonyl; 1,2,4-triazol-5-yl optionally substituted by (C_{1-6}) alkyl, or 5-oxo-1,2,4-oxadiazol-3-yl; or

 (C_{1-4}) alkyl <u>optionally substituted</u> or ethenyl substituted with any of the substituents listed above for \mathbb{R}^3 and up to 3 groups <u>for</u> \mathbb{R}^{12} independently selected from:

thiol; halogen; (C_{1-6}) alkylthio; trifluoromethyl; azido; (C_{1-6}) alkoxycarbonyl; (C_{1-6}) alkylcarbonyl; (C_{2-6}) alkenyloxycarbonyl; (C_{2-6}) alkenyloxycarbonyl; (C_{2-6}) alkenyloxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{1-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{1-6}) alkyl, (C_{2-6}) alkenyloxycarbonyl, (C_{1-6}) alkylcarbonyl; amino optionally mono- or disubstituted by (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, or aminocarbonyl, wherein the amino group is optionally substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl; aminocarbonyl,

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wherein the amino group is optionally substituted by (C_{1-6}) alkyl, hydroxy (C_{1-6}) alkyl, aminocarbonyl (C_{1-6}) alkyl, (C_{2-6}) alkenyl, (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenyloxycarbonyl or (C_{2-6}) alkenylcarbonyl and optionally further substituted by (C_{1-6}) alkyl, hydroxy (C_{1-6}) alkyl, aminocarbonyl (C_{1-6}) alkyl or (C_{2-6}) alkenyl; oxo; (C_{1-6}) alkylsulphonyl; (C_{2-6}) alkenylsulphonyl; or (C_{1-6}) aminosulphonyl, wherein the amino group is optionally substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl; in addition when (C_{2-6}) alkenyl or amino containing substituent and carboxy containing substituent these may together form a cyclic ester or amide linkage, respectively; or

when R^3 is in the 3- or 4-position it may with R^2 or R^4 form a C_{3-5} alkylene group optionally substituted by a group R^5 selected from:

 $(C_{1-12}) \text{alkyl}; \ \text{hydroxy}(C_{1-12}) \text{alkyl}; \ (C_{1-12}) \text{alkoxy}(C_{1-12}) \text{alkyl}; \ (C_{1-12}) \text{alkyl}; \ (C_{1-12}) \text{alkyl}; \ (C_{1-12}) \text{alkyl}; \ (C_{1-12}) \text{alkoxy}(C_{3-6}) \text{cycloalkyl}; \ (C_{3-6}) \text{cycloalkyl}; \ (C_{1-12}) \text{alkoxy}(C_{3-6}) \text{cycloalkyl}; \ (C_{1-12}) \text{alkoxy}(C_{3-6}) \text{cycloalkyl}; \ (C_{1-12}) \text{alkyl}; \ \text{hydroxy-}, \ (C_{1-12}) \text{alkoxy-} \text{ or } \ (C_{1-12}) \text{alkanoyloxy-}(C_{3-6}) \text{cycloalkyl}(C_{1-12}) \text{alkyl}; \ \text{cyano}; \ \text{cyano}(C_{1-12}) \text{alkyl}; \ (C_{2-12}) \text{alkenyl}; \ (C_{2-12}) \text{alkynyl}; \ \text{tetrahydrofuryl}; \ \text{mono-} \text{ or } \text{di-}(C_{1-12}) \text{alkylamino}(C_{1-12}) \text{alkyl}; \ \text{mono-} \text{ or } \text{di-}(C_{1-12}) \text{alkyl}; \ \text{mono-} \text{ or } \text{di-}(C_{1-12}) \text{alkyl}; \ \text{optionally substituted } \text{phenyl}(C_{1-12}) \text{alkyl}, \ \text{phenoxy}(C_{1-12}) \text{alkyl}; \ \text{optionally substituted} \ \text{diphenyl}(C_{1-12}) \text{alkyl}; \ \text{optionally substituted} \ \text{heteroaryl}(C_{1-12}) \text{alkyl}; \ \text{and} \ \text{benzoyl}(C_{1-12}) \text{alkyl}; \ \text{optionally substituted} \ \text{heteroaryl}(C_{1-12}) \text{alkyl}; \ \text{and} \ \text{optionally substituted} \ \text{optionally substituted$

wherein phenyl, benzoyl, heteroaryl and heteroaroyl groups are optionally substituted with up to five groups selected from halogen, mercapto, (C_{1-6}) alkyl, phenyl, (C_{1-6}) alkoxy, hydroxy (C_{1-6}) alkyl, mercapto (C_{1-6}) alkyl, halo (C_{1-6}) alkyl, hydroxy, optionally substituted amino, nitro, carboxy, (C_{1-6}) alkylcarbonyloxy, (C_{1-6}) alkoxycarbonyl, formyl, and (C_{1-6}) alkylcarbonyl groups;

 R^4 forms a group with R^3 as above defined, or is a group -CH₂- R^5 where R^5 is as defined above:

n is 0, 1 or 2;

A is NR^{11} or CR^6R^7 and B is NR^{11} , O, SO_2 or CR^8R^9 ; and wherein:

optionally substituted heteroaroyl or heteroaroyl(C₁₋₁₂)alkyl;

each of R^6 , R^7 , R^8 and R^9 is independently selected from: hydrogen; (C₁₋₆)alkylthio; halo; trifluoromethyl; azido; (C₁₋₆)alkyl; (C₂₋₆)alkenyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl;

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 (C_{2-6}) alkenyloxycarbonyl; (C_{2-6}) alkenylcarbonyl; hydroxy, amino or aminocarbonyl optionally substituted as for corresponding substituents $\mathbf{R^{12}}$ as defined in $\mathbf{R^3}$; (C_{1-6}) alkylsulphonyl; (C_{2-6}) alkenylsulphonyl; or (C_{1-6}) aminosulphonyl; wherein the amino group is optionally substituted by (C_{1-6}) alkyl or (C_{1-6}) alkenyl; or $\mathbf{R^6}$ and $\mathbf{R^8}$ together represent a bond and $\mathbf{R^7}$ and $\mathbf{R^9}$ are as above defined; or $\mathbf{R^6}$ and $\mathbf{R^7}$ or $\mathbf{R^8}$ and $\mathbf{R^9}$ together represent oxo; provided that:

when A is NR¹¹, B is not NR¹¹, O or SO₂; when A is CO, B is not CO, O or SO₂; when n is 0 and A is NR¹¹, CR⁸R⁹ can only be CO; when A is CR⁶R⁷ and B is SO₂, n is 0; when n is 0, B is not NR¹¹ or O; and when A-B is CR⁷=CR⁹, n is 1 or 2;

R¹⁰ is selected from (C₁₋₄)alkyl; (C₂₋₄)alkenyl and aryl, any each of which may be is optionally substituted by a group R¹² as defined above; carboxy; aminocarbonyl, wherein the amino group is optionally substituted by hydroxy, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkylsulphonyl, trifluoromethylsulphonyl, (C₁₋₆)alkenylsulphonyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl or (C₂₋₆)alkenylcarbonyl and optionally further substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; (C₁₋₆)alkylsulphonyl; trifluoromethylsulphonyl; (C₁₋₆)alkenylsulphonyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; (C₂₋₆)alkenyloxycarbonyl; and (C₂₋₆)alkenylcarbonyl;

R¹¹ is hydrogen; trifluoromethyl, (C₁₋₆)alkyl; (C₁₋₆)alkenyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; aminocarbonyl, wherein the amino group is optionally substituted by (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₁₋₆)alkenyloxycarbonyl, (C₂₋₆)alkenylcarbonyl, (C₁₋₆)alkyl or (C₁₋₆)alkenyl and optionally further substituted by (C₁₋₆)alkyl or (C₁₋₆)alkenyl.

2 (Original). A compound according to claim 1 wherein:

- (a) Z^1 is N, and Z^2 - Z^5 are CH,
- (b) Z^{1} - Z^{5} are each CH, or
- (c) Z^5 is N, and Z^1 - Z^4 are CH.

11 (Original). A compound according to claim 1 wherein R^1 and R^{1a} are independently methoxy, amino(C_{3-5})alkyloxy, guanidino(C_{3-5})alkyloxy, piperidyl(C_{3-5})alkyloxy, nitro or fluoro.

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- 12 (Currently Amended). A compound according to claim 1 wherein R^3 is hydrogen; optionally substituted aminocarbonyl; optionally substituted (C_{1-4})alkyl; carboxy(C_{1-4})alkyl; optionally substituted aminocarbonyl(C_{1-4})alkyl; cyano(C_{1-4})alkyl; optionally substituted 2-oxo-oxazolidinyl or optionally substituted 2-oxo-oxazolidinyl(C_{1-4} alkyl).
- 13 (Original). A compound according to claim 1 wherein \mathbb{R}^3 is in the 3-position and the substituents at the 3- and 4-position of the piperidine ring are *cis*.
- 14 (Original). A compound according to claim 1 wherein A is NH and B is CO, or A is CHOH and B is $\text{CH}_{2.}$
 - 15 (Original). A compound according to claim 1 wherein R¹¹ is hydrogen.
- 16 (Original). A compound according to claim 1 wherein R^4 is (C_{5-12}) alkyl, optionally substituted phenyl (C_{2-3}) alkyl or optionally substituted phenyl (C_{3-4}) alkenyl.
- 17 (Currently Amended). A pharmaceutical composition comprising a compound of formula (I) as defined in claim 1, or a pharmaceutically acceptable <u>salt, ester and/or</u>

 <u>N-oxide</u> derivative thereof, and a pharmaceutically acceptable carrier.
- 18 (Currently Amended). A method of treatment of bacterial treating bacterial infections in mammals caused by S.aureus and S. pneumoniae organisms, which method comprises the administration administering to a mammal in need thereof of such treatment of an effective amount of a compound of formula (I) as defined in claim 1, or a pharmaceutically acceptable salt, ester and/or N-oxide derivative thereof.
 - 19 (New) . The compound according to claim 1, wherein the compound is:
 - 4-Heptylamino-1-(6-methoxy-[1,5]-naphthyridin-4-yl)aminocarbonylpiperidine;
- 4-Heptylamino-4-methoxycarbonyl-1-(6-methoxy-[1,5]-naphthyridine-4-yl)aminocarbonylpiperidine ;or
- 4-Heptylamino-4-hydroxymethyl-1-(6-methoxy-[1,5]-naphthyridine-4-yl)aminocarbonylpiperidine .